

524,798
10/524798

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
4 March 2004 (04.03.2004)

PCT

(10) International Publication Number
WO 2004/018440 A1

(51) International Patent Classification⁷: C07D 265/30,
A61K 31/5375, A61P 25/24

(21) International Application Number:
PCT/US2003/023268

(22) International Filing Date: 18 August 2003 (18.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0219685.5 23 August 2002 (23.08.2002) GB
60/415,327 1 October 2002 (01.10.2002) US

(71) Applicant (for all designated States except US): ELI
LILLY AND COMPANY [US/US]; Lilly Corporate
Center, Indianapolis, IN 46285 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CLARK, Barry,
Peter [GB/GB]; ELI LILLY AND COMPANY LIMITED,
Kingsclere Road, Basingstoke, Hampshire RG21 2XA
(GB). GALLAGHER, Peter, Thaddeus [GB/GB]; ELI
LILLY AND COMPANY LIMITED, Kingsclere Road,
Basingstoke, Hampshire RG21 2XA (GB). HAUGHTON,
Helen, Louise [GB/GB]; ELI LILLY AND COMPANY
LIMITED, Kingsclere Road, Basingstoke, Hampshire
RG21 2XA (GB).

(74) Agents: SALES, James, J. et al.; ELI LILLY AND COM-
PANY, P. O. Box 6288, Indianapolis, IN 46206-6288 (US).

(81) Designated States (national): AE, AG, AL, AM, AT (util-
ity model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (util-
ity model), DE, DK (utility model), DK, DM, DZ, EC, EE
(utility model), EE, ES, FI (utility model), FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RU, SC, SD, SE, SG, SK (utility model), SK, SL, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW.

(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

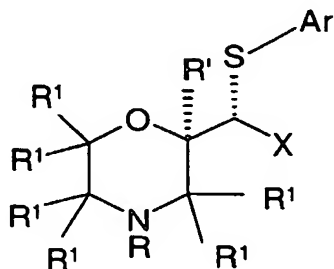
- as to the applicant's entitlement to claim the priority of the
earlier application (Rule 4.17(iii)) for the following desig-
nations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY,
BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC,
EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW,
ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ,
UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD,
RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI,
CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: BENZYL MORPHOLINE DERIVATIVES



(I)

(57) Abstract: A compound of formula (I) wherein R is H; Ar is
an aromatic group selected from phenyl; X is a phenyl group; R' is
H or C1-C4 alkyl; each R1 is independently H or C1-C4 alkyl; and
pharmaceutically acceptable salts thereof.

WO 2004/018440 A1